

(19) World Intellectual Property
Organization
International Bureau



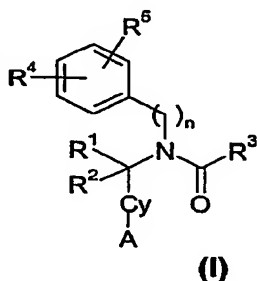
(43) International Publication Date
10 February 2005 (10.02.2005)

PCT

(10) International Publication Number
WO 2005/011685 A1

- (51) International Patent Classification⁷: **A61K 31/426**,
31/16, 31/166, 31/167, A61P 3/10, C07C 231/00, C07D
277/28, 277/30
- (21) International Application Number:
PCT/EP2004/051558
- (22) International Filing Date: 20 July 2004 (20.07.2004)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
03102236.1 21 July 2003 (21.07.2003) EP
60/517,824 6 November 2003 (06.11.2003) US
- (71) Applicant (for all designated States except US): **AP-
PLIED RESEARCH SYSTEMS ARS HOLDING N.V.**
[NL/NL]; Pietermaai 15, NL- Curacao (NL).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **THOMAS, Russel**,
J. [GB/IT]; Via Bianchi Bandinelli 6, I-53100 Siena (IT).
SWINNEN, Dominique [BE/FR]; 65, Allée du Clos Ro-
mance, F-74160 Beaumont (FR). **PONS, Jean-François**
[FR/GB]; Evotec OAI, 151 Milton Parc, Abingdon, Ox-
fordshire OX14 5BS (GB). **BOMBRUN, Agnès** [FR/FR];
1153 Route du Salève, F-74560 Monnetier-Mornex (FR).
- (74) Agent: **Serono International SA Intellectual Property
Department Hassa, Juergen**; 12, Chemin des Aulx,
CH-1228 Plan-les-Ouates (CH).
- (81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.
- (84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).
- Published:
— with international search report
- For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: **ARYL DICARBOXAMIDES**



(57) Abstract: The present invention is related to aryl dicarboxamides of formula (I) and use thereof for the treatment and/or prevention of obesity and/or metabolic disorders mediated by insulin resistance or hyperglycemia, comprising diabetes type I and/or II, inadequate glucose tolerance, insulin resistance, hyperlipidemia, hypertriglyceridemia, hypercholesterolemia, polycystic ovary syndrome (PCOS). In particular, the present invention is related to the use of aryl dicarboxamides of formula (I) to modulate, notably to inhibit the activity of PTPs. A is an aminocarbonyl moiety; Cy is an aryl, heteroaryl, aryl-heteroaryl, heteroaryl-aryl, aryl-aryl, cycloalkyl or heterocycle group; n is either 0 or 1; R¹ and R² are independently from each other selected from the group consisting of hydrogen or C₁-C₆ alkyl; R⁴ and R⁵ are each independently from each other selected from the group consisting of H, hydroxy, C₁-C₆ alkyl, carboxy, C₁-C₆ alkoxy, C₁-C₃ alkyl carboxy, C₂-C₃ alkenyl carboxy, C₂-C₃ alkynyl carboxy, amino or R⁴ and R⁵ may form an unsaturated or saturated heterocyclic ring, whereby

at least one of R⁴ or R⁵ is not a hydrogen or C₁-C₆ alkyl.